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* * * * * Welcome to STN International * * * * *

NEWS	1		Web Page for STN Seminar Schedule - N. America
NEWS	2	JAN 02	STN pricing information for 2008 now available
NEWS	3	JAN 16	CAS patent coverage enhanced to include exemplified prophetic substances
NEWS	4	JAN 28	USPATFULL, USPAT2, and USPATOLD enhanced with new custom IPC display formats
NEWS	5	JAN 28	MARPAT searching enhanced
NEWS	6	JAN 28	USGENE now provides USPTO sequence data within 3 days of publication
NEWS	7	JAN 28	TOXCENTER enhanced with reloaded MEDLINE segment
NEWS	8	JAN 28	MEDLINE and LMEADLINE reloaded with enhancements
NEWS	9	FEB 08	STN Express, Version 8.3, now available
NEWS	10	FEB 20	PCI now available as a replacement to DPCI
NEWS	11	FEB 25	IFIREF reloaded with enhancements
NEWS	12	FEB 25	IMSPRODUCT reloaded with enhancements
NEWS	13	FEB 29	WPINDEX/WPIDS/WPIX enhanced with ECLA and current U.S. National Patent Classification
NEWS	14	MAR 31	IFICDB, IFIPAT, and IFIUDB enhanced with new custom IPC display formats
NEWS	15	MAR 31	CAS REGISTRY enhanced with additional experimental spectra
NEWS	16	MAR 31	CA/CAPLUS and CASREACT patent number format for U.S. applications updated
NEWS	17	MAR 31	LPCI now available as a replacement to LDPCI
NEWS	18	MAR 31	EMBASE, EMBAL, and LEMBASE reloaded with enhancements
NEWS	19	APR 04	STN AnaVist, Version 1, to be discontinued
NEWS	20	APR 15	WPIDS, WPINDEX, and WPIX enhanced with new predefined hit display formats
NEWS	21	APR 28	EMBASE Controlled Term thesaurus enhanced
NEWS	22	APR 28	IMSRESEARCH reloaded with enhancements
NEWS	23	MAY 30	INPAPAFMDB now available on STN for patent family searching
NEWS	24	MAY 30	DGENE, PCTGEN, and USGENE enhanced with new homology sequence search option
NEWS	25	JUN 06	EPFULL enhanced with 260,000 English abstracts
NEWS	26	JUN 06	KOREAPAT updated with 41,000 documents
NEWS	27	JUN 13	USPATFULL and USPAT2 updated with 11-character patent numbers for U.S. applications
NEWS	28	JUN 19	CAS REGISTRY includes selected substances from web-based collections
NEWS	29	JUN 25	CA/CAPLUS and USPAT databases updated with IPC reclassification data
NEWS	30	JUN 30	AEROSPACE enhanced with more than 1 million U.S.

NEWS 31 JUN 30 patent records
 EMBASE, EMBAL, and LEMBASE updated with additional
 options to display authors and affiliated
 organizations

NEWS 32 JUN 30 STN on the Web enhanced with new STN AnaVist
 Assistant and BLAST plug-in

NEWS 33 JUN 30 STN AnaVist enhanced with database content from EPFULL

NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,
 AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

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NEWS IPC8 For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that
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***** STN Columbus *****

FILE 'HOME' ENTERED AT 16:41:23 ON 10 JUL 2008

=> file reg

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 16:41:27 ON 10 JUL 2008
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STRUCTURE FILE UPDATES: 9 JUL 2008 HIGHEST RN 1033322-45-0
 DICTIONARY FILE UPDATES: 9 JUL 2008 HIGHEST RN 1033322-45-0

New CAS Information Use Policies, enter HELP USAGETERMS for details.

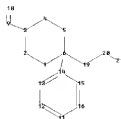
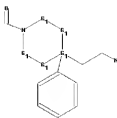
TSCA INFORMATION NOW CURRENT THROUGH January 9, 2008.

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=>
 Uploading C:\Program Files\STNEXP\Queries\10538145_no8ring_norepeatinggroup.str



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chain nodes :
9 10 19 20 21
ring nodes :
1 2 3 4 5 6 11 12 13 14 15 16
chain bonds :
3-9 6-14 6-19 9-10 19-20 20-21
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 11-12 11-16 12-13 13-14 14-15 15-16
exact/norm bonds :
1-2 1-6 2-3 3-4 3-9 4-5 5-6 6-14 6-19 9-10 19-20 20-21
normalized bonds :
11-12 11-16 12-13 13-14 14-15 15-16
isolated ring systems :
containing 1 : 11 :

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G1:C,O

G2:C,N

Match level :

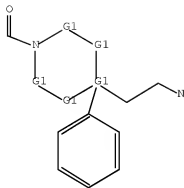
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 9:CLASS 10:CLASS 11:Atom 12:Atom
13:Atom 14:Atom 15:Atom 16:Atom 19:CLASS 20:CLASS 21:CLASS

L1 STRUCTURE UPLOADED

=> d L1

L1 HAS NO ANSWERS

L1 STR



G1 C,O
G2 C,N

Structure attributes must be viewed using STN Express query preparation.

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.46

0.67

FILE 'CAPLUS' ENTERED AT 16:41:51 ON 10 JUL 2008

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FILE COVERS 1907 - 10 Jul 2008 VOL 149 ISS 2

FILE LAST UPDATED: 9 Jul 2008 (20080709/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2008.

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

<http://www.cas.org/legal/infopolicy.html>

=> s L1 SSS full

REG1stPY INITIATED

Substance data SEARCH and crossover from CAS REGISTRY in progress...

Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

FULL SEARCH INITIATED 16:41:54 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 511739 TO ITERATE

100.0% PROCESSED 511739 ITERATIONS
SEARCH TIME: 00.00.03

174 ANSWERS

L2 174 SEA SSS FUL L1

L3 6 L2

=> d ibib abs hitstr 1-

YOU HAVE REQUESTED DATA FROM 6 ANSWERS - CONTINUE? Y/(N):y

L3 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:377481 CAPLUS Full-text

DOCUMENT NUMBER: 148:379600

TITLE: Octahydropyrrolo[3,4-c]pyrrole derivatives as
antiviral agents and their preparation, pharmaceutical
compositions and use in the treatment of HIV infection
INVENTOR(S): Lemoine, Remy; Melville, Chris Richard; Rotstein,
David Mark; Wanner, Jutta

PATENT ASSIGNEE(S): F. Hoffmann-La Roche AG, Switz.

SOURCE: PCT Int. Appl., 116pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

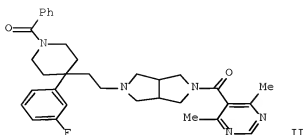
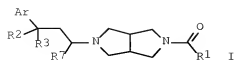
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

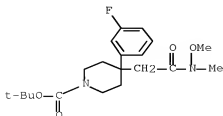
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WO 2008034731	A1	20080327	WO 2007-EP59453	20070910
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
US 20080103125	A1	20080501	US 2007-901498	20070918
PRIORITY APPLN. INFO.:			US 2006-845334P	P 20060918
OTHER SOURCE(S):	MARPAT 148:379600			

GI



AB The invention relates to octahydropyrrolo[3,4-c]pyrrole derivs. of formula I, which are chemokine receptor (CCR5) antagonists and useful for treating or preventing an human immunodeficiency virus (HIV) infection, or treating AIDS or ARC. The invention further provides methods for treating diseases that are alleviated with CCR5 antagonists. The invention includes pharmaceutical compns. and methods of using the compds. for the treatment of these diseases. The invention further includes processes for the preparation of compds. according to formula I. Compds. of formula I wherein R1 is (un)substituted Ph, (un)substituted pyridinyl, (un)substituted pyrimidinyl and substituted dimethylpyrazolyl; one of the R2 and R3 is H, the other is substituted C3-5 heterocyclyl; R2R3 taken together to form (CH2)mNR4(CH2)n; m and n are independently 1-2; R4 is C1-6 (halo)alkyl, CH2CN, acyl and sulfonyl; R7 is H and C1-3 alkyl; Ar is (un)substituted phenyl; and their pharmaceutically acceptable salts, are claimed. Example compound II was prepared by acylation of (4,6-dimethylpyrimidin-5-yl)-(5-{2-[4-(3-fluorophenyl)piperidin-4-yl]ethyl}hexahydropyrrolo[3,4-c]pyrrol-2-yl)methanone with benzoyl chloride. All the invention compds. were evaluated for their CCR5 receptor antagonistic activity. From the assay, it was determined that II exhibited an IC50 value of 0.0776 μ M.

IT 1014703-33-3P
 RL: PRPH (Prophetic); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prophetic intermediate; preparation of octahydropyrrolo[3,4-c]pyrrole derivs. as antiviral agents useful in the treatment of HIV infection)
 RN 1014703-33-3 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-(3-fluorophenyl)-4-[2-(methoxymethylamino)-2-oxoethyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

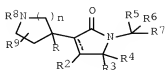


REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2005:472146 CAPLUS Full-text
 DOCUMENT NUMBER: 143:26500
 TITLE: Preparation of piperidinylnpyrrolidinones for treatment of conditions mediated by tachykinins and the serotonin reuptake transporter
 INVENTOR(S): Alvaro, Giuseppe; Di Fabio, Romano; Giovannini, Riccardo; Paio, Alfredo; Tranquillini, Maria Elvira; Mattioli, Lucia
 PATENT ASSIGNEE(S): Glaxo Group Limited, UK
 SOURCE: PCT Int. Appl., 108 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005049600	A1	20050602	WO 2004-EP12772	20041110
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2004291296	A1	20050602	AU 2004-291296	20041110
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EP 1689737	A1	20060816	EP 2004-797809	20041110
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CN 1878764	A	20061213	CN 2004-80033397	20041110
BR 2004016285	A	20070123	BR 2004-16285	20041110
JP 2007510692	T	20070426	JP 2006-538791	20041110
IN 2006DN01767	A	20070831	IN 2006-DN1767	20060331
MX 2006PA05308	A	20060711	MX 2006-PA5308	20060511
NO 2006002661	A	20060609	NO 2006-2661	20060609
PRIORITY APPLN. INFO.:			GB 2003-26407	A 20031112
			WO 2004-EP12772	W 20041110

OTHER SOURCE(S): MARPAT 143:26500
 GI



I

AB Title compds. [I; dotted line = optional double bond; R = (substituted) Ph, methylenedioxyphenyl, benzofuryl; R2 = H, alkyl; R3 = H, OH, alkyl; R4 = H; R3R4 = O, CH2; R5 = (substituted) Ph, naphthyl, 9-10 membered fused bicyclic heterocyclyl, 5-6 membered heteroaryl; R6, R7 = H, cyano, alkyl; R8 = (CH2)rR10; R9 = H, halo, C3-7 cycloalkyl, OH, NO2, cyano, (substituted) alkyl; R10 = H, C3-7 cycloalkyl; n = 1, 2; r = 1-4], were prepared Thus, 1,1-dimethylethyl 4-[1-[(3,5-dichlorophenyl)methyl]-5-hydroxy-2-oxo-3-pyrrolidinyl]-4-(4-fluorophenyl)-1-piperidinecarboxylate (preparation given) was heated with CF3CO2H at 60° for 3 h to give 1-[(3,5-dichlorophenyl)methyl]-3-[4-(4-fluorophenyl)-4-piperidinyl]-1,5-dihydro-2H-pyrrol-2-one. The latter and other I showed NK1 receptor binding with pKi = 8.65-8.07.

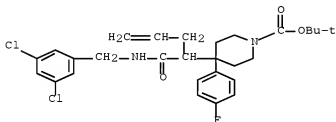
IT 852880-42-3P 852880-43-4P 852880-44-5P
852880-45-6P 852880-46-7P 852880-47-8P
852880-48-9P 852880-64-9P 852880-65-0P
852880-66-1P 852880-67-2P 852880-79-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of piperidinylpyrrolidinones for treatment of conditions mediated by tachykinins and the serotonin reuptake transporter)

RN 852880-42-3 CAPLUS

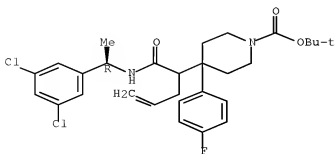
CN 1-Piperidinecarboxylic acid, 4-[1-[[[(3,5-dichlorophenyl)methyl]amino]carbonyl]-3-buten-1-yl]-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 852880-43-4 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[1-[[[(1R)-1-(3,5-dichlorophenyl)ethyl]amino]carbonyl]-3-buten-1-yl]-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)

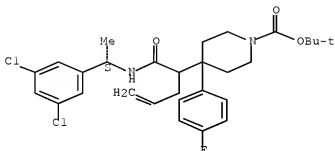
Absolute stereochemistry.



RN 852880-44-5 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[1-[[[(1S)-1-(3,5-dichlorophenyl)ethyl]amino]carbonyl]-3-buten-1-yl]-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)

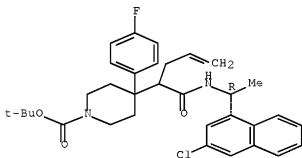
Absolute stereochemistry.



RN 852880-45-6 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[1-[[[(1R)-1-(3-chloro-1-naphthalenyl)ethyl]amino]carbonyl]-3-buten-1-yl]-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)

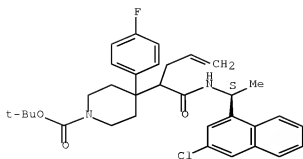
Absolute stereochemistry.



RN 852880-46-7 CAPLUS

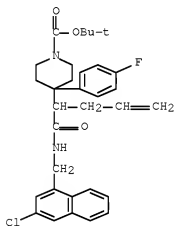
CN 1-Piperidinecarboxylic acid, 4-[1-[[[(1S)-1-(3-chloro-1-naphthalenyl)ethyl]amino]carbonyl]-3-buten-1-yl]-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.



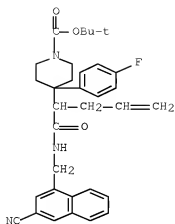
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CN 1-Piperidinecarboxylic acid, 4-[1-[[[(3-chloro-1-naphthalenyl)methyl]amino]carbonyl]-3-buten-1-yl]-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



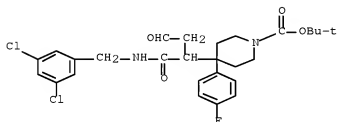
RN 852880-48-9 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[1-[[[(3-cyano-1-naphthalenyl)methyl]amino]carbonyl]-3-buten-1-yl]-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 852880-64-9 CAPLUS

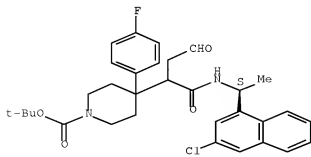
CN 1-Piperidinecarboxylic acid, 4-[1-[[[(3,5-dichlorophenyl)methyl]amino]carbonyl]-3-oxopropyl]-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 852880-65-0 CAPLUS

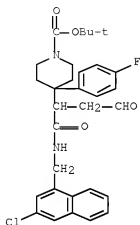
CN 1-Piperidinecarboxylic acid, 4-[1-[[[(1S)-1-(3-chloro-1-naphthalenyl)ethyl]amino]carbonyl]-3-oxopropyl]-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.



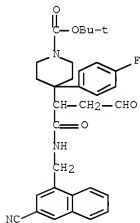
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CN 1-Piperidinecarboxylic acid, 4-[1-[[[(3-chloro-1-naphthalenyl)methyl]amino]carbonyl]-3-oxopropyl]-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



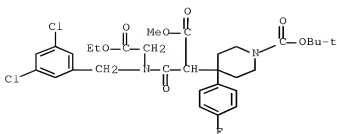
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CN 1-Piperidinecarboxylic acid, 4-[1-[[[(3-cyano-1-naphthalenyl)methyl]amino]carbonyl]-3-oxopropyl]-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 852880-79-6 CAPLUS

CN 4-Piperidineacetic acid, α -[[[(3,5-dichlorophenyl)methyl](2-ethoxy-2-oxoethyl)amino]carbonyl]-1-[(1,1-dimethylethoxy)carbonyl]-4-(4-fluorophenyl)-, methyl ester (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2008 ACS on SIN

ACCESSION NUMBER: 2004:996128 CAPLUS Full-text

DOCUMENT NUMBER: 141:424113

TITLE: Preparation of azaheterocyclyalkanamides, particularly piperidineacetamides, as selective serotonin transporter and NK1 antagonists for the treatment of tachykinin-mediated disorders

INVENTOR(S): Alvaro, Giuseppe; Arista, Luca; Cardullo, Francesca; D'Adamo, Lucilla; Feriani, Aldo; Giovannini, Riccardo; Seri, Catia

PATENT ASSIGNEE(S): Glaxo Group Limited, UK

SOURCE: PCT Int. Appl., 126 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

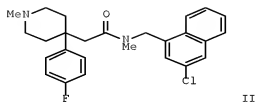
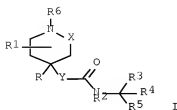
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004099143	A1	20041118	WO 2004-EP5005	20040507
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004235967	A1	20041118	AU 2004-235967	20040507
CA 2524894	A1	20041118	CA 2004-2524894	20040507
EP 1622871	A1	20060208	EP 2004-731635	20040507
R: LT, LV, HR				
BR 2004010154	A	20060516	BR 2004-10154	20040507
CN 1819995	A	20060816	CN 2004-80019495	20040507
JP 2006525975	T	20061116	JP 2006-505412	20040507
MX 2005PA12096	A	20060208	MX 2005-PA12096	20051109
NO 2005005835	A	20060207	NO 2005-5835	20051208
US 20070073061	A1	20070329	US 2006-554822	20060601
PRIORITY APPLN. INFO.:			GB 2003-10724	A 20030509

OTHER SOURCE(S):

MARPAT 141:424113

GI



AB Compds. I [R = (un)substituted Ph, 5-benzodioxolyl, 2,3-dihydrobenzofuran-5-yl, 5-benzofuranyl; R1 = H, halo, NC, (un)substituted alkyl, alkenyl; R2 = H, alkyl; R3, R4 = H, alkyl or R3R4 = cycloalkyl; R5 = (un)substituted Ph, naphthyl, fused bicyclic heterocyclyl, heteroaryl; R6 = H, terminally-substituted alkyl; R7 = halo, NC, alkyl, alkoxy, F3C, F3CO; X = (CH2)_n; Y = (CH2)_m; m = 0, 1; n = 1-4] such as II are prepared as selective inhibitors of the serotonin transporter protein, and in the treatment of disorders mediated by tachykinins such as substance P and neurokinins. For example, 3-chloro-1-naphthalenecarboxaldehyde undergoes reductive amination with methylamine to yield 3-chloro-1-(methylaminomethyl)naphthalene; coupling with 1-Boc-4-(4-fluorophenyl)-4-piperidineacetic acid, removal of the Boc group with trifluoroacetic acid, and N-methylation of the piperidine with formaldehyde and sodium triacetoxyborohydride yields II. Compds. I are selective NK1 receptor antagonists (no data). Methods for the preparation of I are claimed.

IT 796112-65-7P 796112-66-8P 796112-67-9P
 796112-68-0P 796112-69-1P 796112-70-4P
 796112-71-5P 796112-72-6P 796112-73-7P
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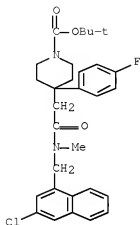
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of azaheterocyclylalkanamides, particularly piperidineacetamides, as selective serotonin transporter and NK1 antagonists for the treatment of tachykinin-mediated disorders)

RN 796112-65-7 CAPLUS

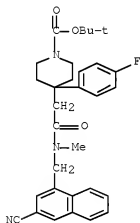
CN 1-Piperidinecarboxylic acid, 4-[2-[(3-chloro-1-

naphthalenyl)methyl]methylamino]-2-oxoethyl]-4-(4-fluorophenyl)-,
1,1-dimethylethyl ester (CA INDEX NAME)



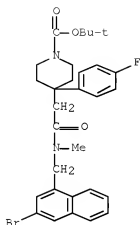
RN 796112-66-8 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[3-cyano-1-naphthalenyl)methyl]methylamino]-2-oxoethyl]-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



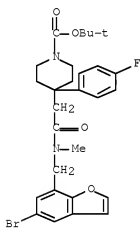
RN 796112-67-9 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[3-bromo-1-naphthalenyl)methyl]methylamino]-2-oxoethyl]-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



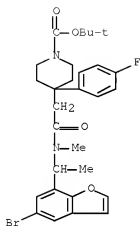
RN 796112-68-0 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[[5-bromo-7-benzofuranyl)methyl]methylamino]-2-oxoethyl]-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



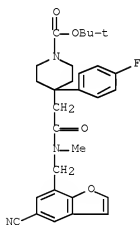
RN 796112-69-1 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[[1-(5-bromo-7-benzofuranyl)ethyl]methylamino]-2-oxoethyl]-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



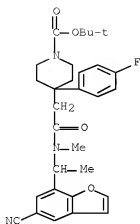
RN 796112-70-4 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[5-cyano-7-benzofuranyl)methylamino]-2-oxoethyl]-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 796112-71-5 CAPLUS

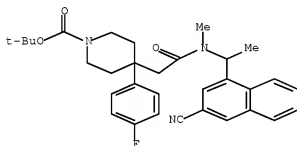
CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(5-cyano-7-benzofuranyl)ethyl)methylamino]-2-oxoethyl]-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 796112-72-6 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3-cyano-1-naphthalenyl)ethyl]methylamino]-2-oxoethyl]-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester, (-)- (CA INDEX NAME)

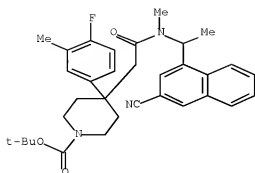
Rotation (-).



RN 796112-73-7 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3-cyano-1-naphthalenyl)ethyl]methylamino]-2-oxoethyl]-4-(4-fluoro-3-methylphenyl)-, 1,1-dimethylethyl ester, (-)- (CA INDEX NAME)

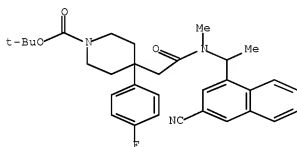
Rotation (-).



RN 796112-74-8 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3-cyano-1-naphthalenyl)ethyl]methylamino]-2-oxoethyl]-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester, (+)- (CA INDEX NAME)

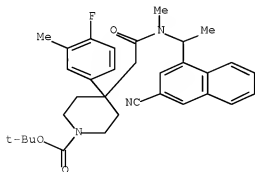
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RN 796112-75-9 CAPLUS

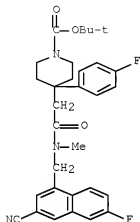
CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3-cyano-1-naphthalenyl)ethyl]methylamino]-2-oxoethyl]-4-(4-fluoro-3-methylphenyl)-, 1,1-dimethylethyl ester, (+)- (CA INDEX NAME)

Rotation (+).



RN 796112-76-0 CAPLUS

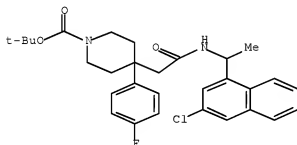
CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3-cyano-6-fluoro-1-naphthalenyl)methyl]methylamino]-2-oxoethyl]-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 796112-77-1 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3-chloro-1-naphthalenyl)ethyl]amino]-2-oxoethyl]-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester, (+)- (CA INDEX NAME)

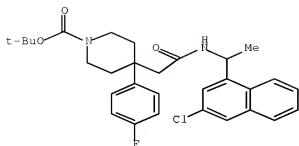
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RN 796112-78-2 CAPLUS

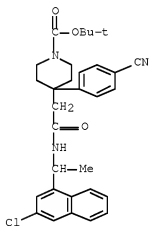
CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3-chloro-1-naphthalenyl)ethyl]amino]-2-oxoethyl]-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester, (-)- (CA INDEX NAME)

Rotation (-).



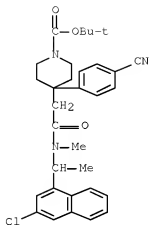
RN 796112-79-3 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3-chloro-1-naphthalenyl)ethyl]amino]-2-oxoethyl]-4-(4-cyanophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 796112-80-6 CAPLUS

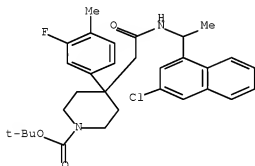
CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3-chloro-1-naphthalenyl)ethyl]methylamino]-2-oxoethyl]-4-(4-cyanophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 796112-83-9 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3-chloro-1-naphthalenyl)ethyl]amino]-2-oxoethyl]-4-(3-fluoro-4-methylphenyl)-, 1,1-dimethylethyl ester, (+)- (CA INDEX NAME)

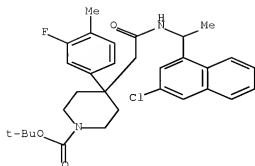
Rotation (+).



RN 796112-84-0 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3-chloro-1-naphthalenyl)ethyl]amino]-2-oxoethyl]-4-(3-fluoro-4-methylphenyl)-, 1,1-dimethylethyl ester, (-)- (CA INDEX NAME)

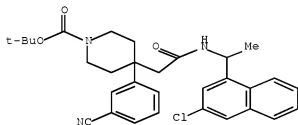
Rotation (-).



RN 796112-85-1 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3-chloro-1-naphthalenyl)ethyl]amino]-2-oxoethyl]-4-(3-cyanophenyl)-, 1,1-dimethylethyl ester, (+)- (CA INDEX NAME)

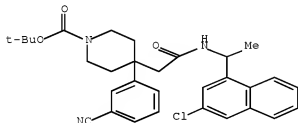
Rotation (+).



RN 796112-86-2 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3-chloro-1-naphthalenyl)ethyl]amino]-2-oxoethyl]-4-(3-cyanophenyl)-, 1,1-dimethylethyl ester, (-)- (CA INDEX NAME)

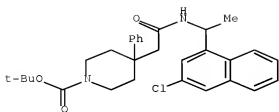
Rotation (-).



RN 796112-87-3 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3-chloro-1-naphthalenyl)ethyl]amino]-2-oxoethyl]-4-phenyl-, 1,1-dimethylethyl ester, (+)- (CA INDEX NAME)

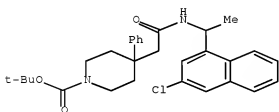
Rotation (+).



RN 796112-88-4 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3-chloro-1-naphthalenyl)ethyl]amino]-2-oxoethyl]-4-phenyl-, 1,1-dimethylethyl ester, (-)- (CA INDEX NAME)

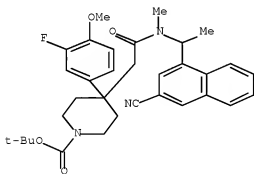
Rotation (-).



RN 796112-91-9 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3-cyano-1-naphthalenyl)ethyl]methylamino]-2-oxoethyl]-4-(3-fluoro-4-methoxyphenyl)-, 1,1-dimethylethyl ester, (+)- (CA INDEX NAME)

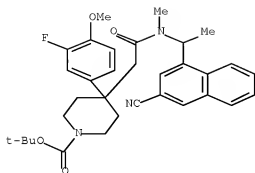
Rotation (+).



RN 796112-92-0 CAPLUS

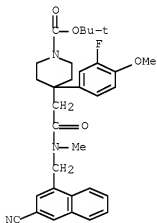
CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3-cyano-1-naphthalenyl)ethyl]methylamino]-2-oxoethyl]-4-(3-fluoro-4-methoxyphenyl)-, 1,1-dimethylethyl ester, (-)- (CA INDEX NAME)

Rotation (-).



RN 796112-93-1 CAPLUS

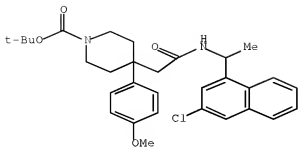
CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3-cyano-1-naphthalenyl)methyl]methylamino]-2-oxoethyl]-4-(3-fluoro-4-methoxyphenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 796112-94-2 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3-chloro-1-naphthalenyl)ethyl]amino]-2-oxoethyl]-4-(4-methoxyphenyl)-, 1,1-dimethylethyl ester, (+)- (CA INDEX NAME)

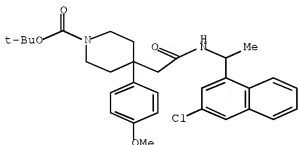
Rotation (+).



RN 796112-95-3 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3-chloro-1-naphthalenyl)ethyl]amino]-2-oxoethyl]-4-(4-methoxyphenyl)-, 1,1-dimethylethyl ester, (-)- (CA INDEX NAME)

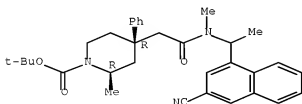
Rotation (-).



RN 796112-98-6 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3-cyano-1-naphthalenyl)ethyl]methylamino]-2-oxoethyl]-2-methyl-4-phenyl-, 1,1-dimethylethyl ester, (2R,4R)-rel- (CA INDEX NAME)

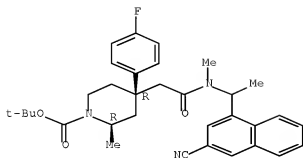
Relative stereochemistry.



RN 796112-99-7 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3-cyano-1-naphthalenyl)ethyl]methylamino]-2-oxoethyl]-4-(4-fluorophenyl)-2-methyl-, 1,1-dimethylethyl ester, (2R,4R)-rel- (CA INDEX NAME)

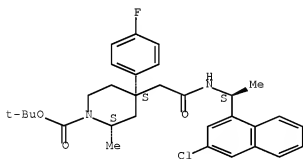
Relative stereochemistry.



RN 796113-00-3 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[[(1S)-1-(3-chloro-1-naphthalenyl)ethyl]amino]-2-oxoethyl]-4-(4-fluorophenyl)-2-methyl-, 1,1-dimethylethyl ester, (2S,4S)- (CA INDEX NAME)

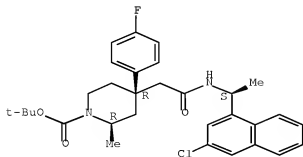
Absolute stereochemistry.



RN 796113-01-4 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[[(1S)-1-(3-chloro-1-naphthalenyl)ethyl]amino]-2-oxoethyl]-4-(4-fluorophenyl)-2-methyl-, 1,1-dimethylethyl ester, (2R,4R)- (CA INDEX NAME)

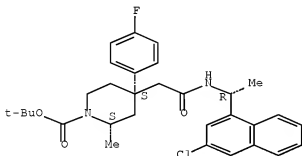
Absolute stereochemistry.



RN 796113-02-5 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[(1R)-1-(3-chloro-1-naphthalenyl)ethyl]amino]-2-oxoethyl]-4-(4-fluorophenyl)-2-methyl-, 1,1-dimethylethyl ester, (2S,4S)- (CA INDEX NAME)

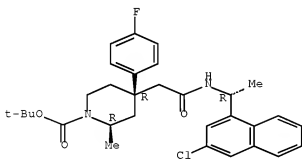
Absolute stereochemistry.



RN 796113-03-6 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[(1R)-1-(3-chloro-1-naphthalenyl)ethyl]amino]-2-oxoethyl]-4-(4-fluorophenyl)-2-methyl-, 1,1-dimethylethyl ester, (2R,4R)- (CA INDEX NAME)

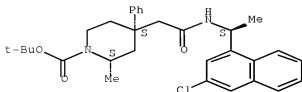
Absolute stereochemistry.



RN 796113-04-7 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[(1S)-1-(3-chloro-1-naphthalenyl)ethyl]amino]-2-oxoethyl]-4-methyl-4-phenyl-, 1,1-dimethylethyl ester, (2S,4S)- (CA INDEX NAME)

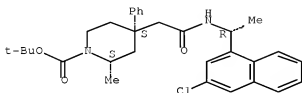
Absolute stereochemistry.



RN 796113-05-8 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[(1R)-1-(3-chloro-1-naphthalenyl)ethyl]amino]-2-oxoethyl]-2-methyl-4-phenyl-, 1,1-dimethylethyl ester, (2S,4S)- (CA INDEX NAME)

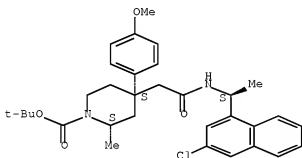
Absolute stereochemistry.



RN 796113-06-9 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[(1S)-1-(3-chloro-1-naphthalenyl)ethyl]amino]-2-oxoethyl]-4-(4-methoxyphenyl)-2-methyl-, 1,1-dimethylethyl ester, (2S,4S)- (CA INDEX NAME)

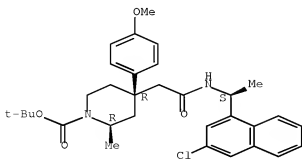
Absolute stereochemistry.



RN 796113-07-0 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[(1S)-1-(3-chloro-1-naphthalenyl)ethyl]amino]-2-oxoethyl]-4-(4-methoxyphenyl)-2-methyl-, 1,1-dimethylethyl ester, (2R,4R)- (CA INDEX NAME)

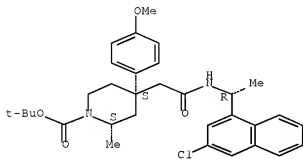
Absolute stereochemistry.



RN 796113-08-1 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[1R)-1-(3-chloro-1-naphthalenyl)ethyl]amino]-2-oxoethyl]-4-(4-methoxyphenyl)-2-methyl-, 1,1-dimethylethyl ester, (2S,4S)- (CA INDEX NAME)

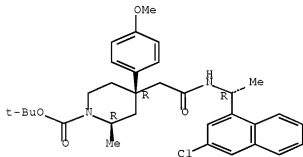
Absolute stereochemistry.



RN 796113-09-2 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[1R)-1-(3-chloro-1-naphthalenyl)ethyl]amino]-2-oxoethyl]-4-(4-methoxyphenyl)-2-methyl-, 1,1-dimethylethyl ester, (2R,4R)- (CA INDEX NAME)

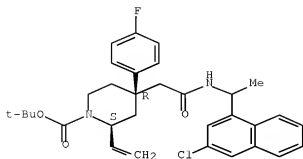
Absolute stereochemistry.



RN 796113-10-5 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3-chloro-1-naphthalenyl)ethyl]amino]-2-oxoethyl]-2-ethenyl-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester, (2R,4S)-rel- (CA INDEX NAME)

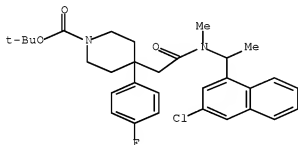
Relative stereochemistry.



RN 796113-11-6 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3-chloro-1-naphthalenyl)ethyl]methylamino]-2-oxoethyl]-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester, (+)- (CA INDEX NAME)

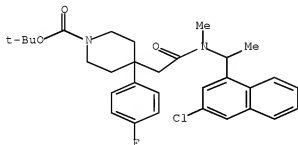
Rotation (+).



RN 796113-12-7 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3-chloro-1-naphthalenyl)ethyl]methylamino]-2-oxoethyl]-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester, (-)- (CA INDEX NAME)

Rotation (-).

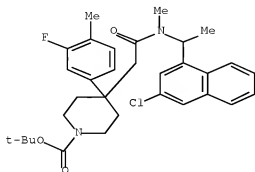


RN 796113-13-8 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3-chloro-1-

naphthalenyl)ethyl]methylamino]-2-oxoethyl]-4-(3-fluoro-4-methylphenyl)-,
1,1-dimethylethyl ester, (+)- (CA INDEX NAME)

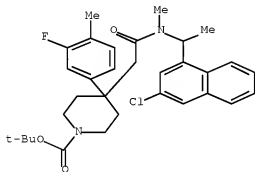
Rotation (+).



RN 796113-14-9 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3-chloro-1-naphthalenyl)ethyl]methylamino]-2-oxoethyl]-4-(3-fluoro-4-methylphenyl)-,
1,1-dimethylethyl ester, (-)- (CA INDEX NAME)

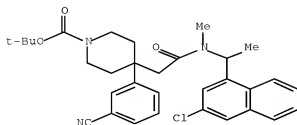
Rotation (-).



RN 796113-15-0 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3-cyanophenyl)-naphthalenyl)ethyl]methylamino]-2-oxoethyl]-4-(3-cyanophenyl)-,
1,1-dimethylethyl ester, (+)- (CA INDEX NAME)

Rotation (+).



CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3-chloro-1-naphthalenyl)ethyl]methylamino]-2-oxoethyl]-4-(3-cyanophenyl)-, 1,1-dimethylethyl ester, (-)- (CA INDEX NAME)

CC(C)N(C)C(=O)CC1(C2=CC=CC=C2C(=C3C=CC(=C(C=C3)N)C=C3C=CC=CC=C3C)C1)N(C(=O)OC(C)(C)C)CC2

CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3-chloro-1-naphthalenyl)ethyl]methylamino]-2-oxoethyl]-4-phenyl-, 1,1-dimethylethyl ester, (+)- (CA INDEX NAME)

CC(C)N(C)C(=O)C1=CC=C2C=C(C=C1)C=C(C=C2)Cl

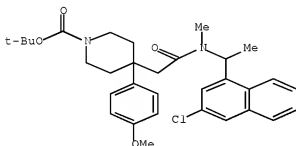
CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3-chloro-1-naphthalenyl)ethyl]methylamino]-2-oxoethyl]-4-phenyl-, 1,1-dimethylethyl ester, (-)- (CA INDEX NAME)

CC(C)NC(=O)Cc1ccc(Cl)cc2ccccc12C(=O)N3CCCCC3C(=O)OC(C)(C)C

RN 796113-21-8 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3-chloro-1-naphthalenyl)ethyl]methylamino]-2-oxoethyl]-4-(4-methoxyphenyl)-, 1,1-dimethylethyl ester, (+)- (CA INDEX NAME)

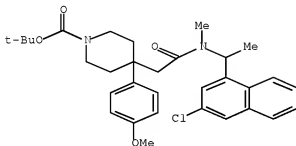
Rotation (+).



RN 796113-22-9 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3-chloro-1-naphthalenyl)ethyl]methylamino]-2-oxoethyl]-4-(4-methoxyphenyl)-, 1,1-dimethylethyl ester, (-)- (CA INDEX NAME)

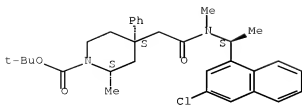
Rotation (-).



RN 796113-25-2 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[1(1S)-1-(3-chloro-1-naphthalenyl)ethyl]methylamino]-2-oxoethyl]-2-methyl-4-phenyl-, 1,1-dimethylethyl ester, (2S,4S)- (CA INDEX NAME)

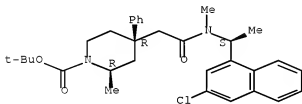
Absolute stereochemistry.



RN 796113-26-3 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[(1S)-1-(3-chloro-1-naphthalenyl)ethyl]methylamino]-2-oxoethyl]-2-methyl-4-phenyl-, 1,1-dimethylethyl ester, (2R,4R)- (CA INDEX NAME)

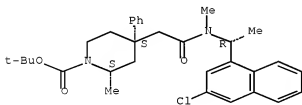
Absolute stereochemistry.



RN 796113-27-4 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[(1R)-1-(3-chloro-1-naphthalenyl)ethyl]methylamino]-2-oxoethyl]-2-methyl-4-phenyl-, 1,1-dimethylethyl ester, (2S,4S)- (CA INDEX NAME)

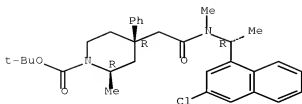
Absolute stereochemistry.



RN 796113-28-5 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[(1R)-1-(3-chloro-1-naphthalenyl)ethyl]methylamino]-2-oxoethyl]-2-methyl-4-phenyl-, 1,1-dimethylethyl ester, (2R,4R)- (CA INDEX NAME)

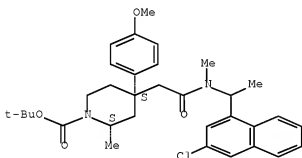
Absolute stereochemistry.



RN 796113-29-6 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3-chloro-1-naphthalenyl)ethyl]methylamino]-2-oxoethyl]-4-(4-methoxyphenyl)-2-methyl-, 1,1-dimethylethyl ester, (2R,4R)-rel- (CA INDEX NAME)

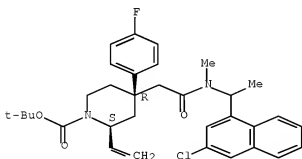
Relative stereochemistry.



RN 796113-31-0 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3-chloro-1-naphthalenyl)ethyl]methylamino]-2-oxoethyl]-2-ethenyl-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester, (2R,4S)-rel- (CA INDEX NAME)

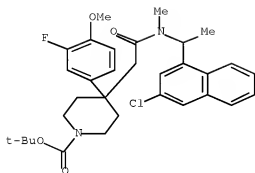
Relative stereochemistry.



RN 796113-32-1 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3-chloro-1-naphthalenyl)ethyl]methylamino]-2-oxoethyl]-4-(3-fluoro-4-methoxyphenyl)-, 1,1-dimethylethyl ester, (+)- (CA INDEX NAME)

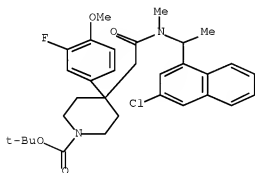
Rotation (+).



RN 796113-33-2 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3-chloro-1-naphthalenyl)ethyl]methylamino]-2-oxoethyl]-4-(3-fluoro-4-methoxyphenyl)-, 1,1-dimethylethyl ester, (-)- (CA INDEX NAME)

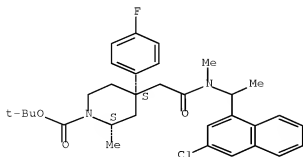
Rotation (-).



RN 796113-34-3 CAPLUS

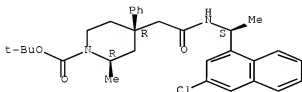
CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3-chloro-1-naphthalenyl)ethyl]methylamino]-2-oxoethyl]-4-(4-fluorophenyl)-2-methyl-, 1,1-dimethylethyl ester, (2R,4R)-rel- (CA INDEX NAME)

Relative stereochemistry.



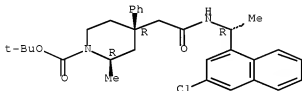
RN 796113-37-6 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-[2-[[[(1S)-1-(3-chloro-1-naphthalenyl)ethyl]amino]-2-oxoethyl]-2-methyl-4-phenyl-, 1,1-dimethylethyl ester, (2R,4R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 796113-38-7 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-[2-[[[(1R)-1-(3-chloro-1-naphthalenyl)ethyl]amino]-2-oxoethyl]-2-methyl-4-phenyl-, 1,1-dimethylethyl ester, (2R,4R)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2004:41442 CAPLUS [Full-text](#)
 DOCUMENT NUMBER: 140:111281
 TITLE: Preparation of substituted piperidines as NK1 receptor ligands
 INVENTOR(S): Alvaro, Giuseppe; Cardullo, Francesca; Di, Fabio Romano; Giovannini, Riccardo; Piga, Elisabetta; Tranquillini, Maria Elvira
 PATENT ASSIGNEE(S): Glaxo Group Limited, UK; Di Fabio, Romano
 SOURCE: PCT Int. Appl., 129 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004005256	A2	20040115	WO 2003-EP7127	20030702
WO 2004005256	A3	20041014		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: GR, GM, KE, LE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2003257433	A1	20040123	AU 2003-257433	20030702
EP 1558577	A2	20050803	EP 2003-762615	20030702
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
JP 2005535650	T	20051124	JP 2004-518696	20030702
US 20060128752	A1	20060615	US 2006-520143	20060117
PRIORITY APPLN. INFO.:			GB 2002-15393	A 20020703
			GB 2003-6454	A 20030320
			WO 2003-EP7127	W 20030702

OTHER SOURCE(S): MARPAT 140:111281

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R = alkyl, cyano, alkoxy, etc.; R1 = H, halo, cycloalkyl, OH, etc.; R2 = H, alkyl; R3-4 = H, CN, alkyl, etc.; R5 = CF3, SOO-2, alkyl, etc.; R6 = H, alkyl; m = 1-4; n = 1-2; p = 0-3; q = 1-3] are prepared for instance, 4-carboxymethyl-4-(4-fluorophenyl)piperidine-1-carboxylic acid tert-Bu ester (preparation given) is coupled to 3,5- (DMF, EDCI, HOBT) and deprotected (CH2Cl2, TFA) to give II. Example compds. inhibit (rat) serotonin transporter with pIC50 in the range of 7.50 - 5.30. I are useful in the treatment of conditions mediated by tachykinins and/or by selective inhibition of serotonin reuptake transporter protein.

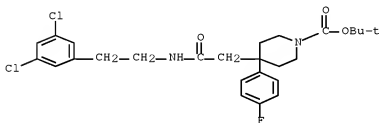
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644981-85-1P, 4-[[[(3,5-Dichlorobenzyl)(methyl)carbamoyl]methyl]-3-fluoro-4-(4-fluorophenyl)piperidine-1-carboxylic acid tert-butyl ester
644982-00-3P, 4-[[[(3,5-Dichlorobenzyl)(methyl)carbamoyl]methyl]-4-(4-fluoro-2-methylphenyl)piperidine-1-carboxylic acid tert-butyl ester
644982-01-4P, 4-[[[(3,5-Bis(trifluoromethyl)benzyl)(methyl)carbamoyl]methyl]-4-(4-fluoro-2-methylphenyl)piperidine-1-carboxylic acid tert-butyl ester 644982-29-6P, 4-[[[(3,5-Dibromobenzyl)(methyl)carbamoyl]methyl]-4-(4-fluorophenyl)piperidine-1-carboxylic acid tert-butyl ester 644982-30-9P, 4-[[[(3,5-Dichlorophenyl)ethyl]carbamoyl]methyl]-4-(4-fluorophenyl)piperidine-1-carboxylic acid tert-butyl ester
644982-31-0P, (S)-4-[[[1-[3,5-Bis(trifluoromethyl)phenyl]ethyl](methyl)carbamoyl]methyl]-4-(4-fluorophenyl)piperidine-1-carboxylic acid tert-butyl ester 644982-33-2P, 4-[[[1-(3,5-Dibromophenyl)ethyl](methyl)carbamoyl]methyl]-4-(4-fluorophenyl)piperidine-1-carboxylic acid tert-butyl ester 644982-34-3P, (R)-4-[[[1-(3,5-Dibromophenyl)ethyl](methyl)carbamoyl]methyl]-4-(4-fluorophenyl)piperidine-1-carboxylic acid tert-butyl ester
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644982-37-6P, 4-[[[3,5-Dibromobenzyl] (methyl)carbamoyl]methyl]-4-phenylpiperidine-1-carboxylic acid tert-butyl ester 644982-38-7P, (S)-4-[[[1-(3,5-Bis(trifluoromethyl)phenyl)ethyl] (methyl)carbamoyl]methyl]-4-phenylpiperidine-1-carboxylic acid tert-butyl ester 644982-39-8P, 4-[[[1-(3,5-Dibromophenyl)ethyl] (methyl)carbamoyl]methyl]-4-phenylpiperidine-1-carboxylic acid tert-butyl ester 644982-40-1P, (R)-4-[[[1-(3,5-Dibromophenyl)ethyl] (methyl)carbamoyl]methyl]-4-phenylpiperidine-1-carboxylic acid tert-butyl ester 644982-41-2P, 1,1-Dimethylethyl (R)-4-[2-[[1-(3,5-bis(trifluoromethyl)phenyl)ethyl] (methyl)amino]-2-oxoethyl]-4-(4-fluoro-2-methylphenyl)-1-piperidinecarboxylate 644982-43-4P, 1,1-Dimethylethyl 4-[2-[[3,5-dibromobenzyl] (methyl)amino]-2-oxoethyl]-4-(4-fluoro-2-methylphenyl)-1-piperidinecarboxylate 644982-44-5P, 1,1-Dimethylethyl 4-[2-[[[3,5-bis(trifluoromethyl)phenyl]methyl]amino]-2-oxoethyl]-4-(4-fluoro-2-methylphenyl)-1-piperidinecarboxylate 644982-47-8P, 1,1-Dimethylethyl 4-[2-[[[3,5-dibromophenyl]methyl] (methyl)amino]-2-oxoethyl]-4-(2-methylphenyl)-1-piperidinecarboxylate 644982-48-9P, 1,1-Dimethylethyl 4-[2-[[[3,5-bis(trifluoromethyl)phenyl]methyl] (methyl)amino]-2-oxoethyl]-4-(4-fluorophenyl)-1-piperidinecarboxylate 644982-49-0P, 1,1-Dimethylethyl 4-[2-[[1-(3,5-dibromophenyl)-1-methylethyl]amino]-2-oxoethyl]-4-(4-fluorophenyl)-1-piperidinecarboxylate 644982-50-3P, 1,1-Dimethylethyl 4-[2-[[1-(3,5-dibromophenyl)-1-methylethyl] (methyl)amino]-2-oxoethyl]-4-(4-fluorophenyl)-1-piperidinecarboxylate 644982-51-4P, (R)-4-[[[1-(3,5-Bis(trifluoromethyl)phenyl)ethyl] (methyl)carbamoyl]methyl]-4-(4-fluorophenyl)piperidine-1-carboxylic acid tert-butyl ester 644982-57-6P, 1,1-Dimethylethyl 4-[2-[[3-bromo-5-cyanophenyl] (methyl)amino]-2-oxoethyl]-4-(4-fluorophenyl)-1-piperidinecarboxylate 644982-60-5P, 1,1-Dimethylethyl 4-[2-[[[3,5-dibromophenyl]methyl] (methyl)amino]-2-oxoethyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinecarboxylate 644982-63-8P, 1,1-Dimethylethyl 4-[2-[[[3,5-dibromophenyl]methyl] (methyl)amino]-2-oxoethyl]-4-(3,4-dimethylphenyl)-1-piperidinecarboxylate 644982-66-1P, 1,1-Dimethylethyl 4-[2-[[1-(3,5-dichlorophenyl)ethyl] (methyl)amino]-2-oxoethyl]-4-(3-fluorophenyl)-1-piperidinecarboxylate 644982-69-4P, 1,1-Dimethylethyl 4-[2-[[1-(3,5-dichlorophenyl)ethyl] (methyl)amino]-2-oxoethyl]-4-(3,4-difluorophenyl)-1-piperidinecarboxylate 644982-70-7P, (R)-1,1-Dimethylethyl 4-[2-[[1-(3,5-dibromophenyl)ethyl] (methyl)amino]-2-oxoethyl]-4-(3,4-difluorophenyl)-1-piperidinecarboxylate 644982-71-8P, (S)-1,1-Dimethylethyl 4-[2-[[1-(3,5-dibromophenyl)ethyl] (methyl)amino]-2-oxoethyl]-4-(3,4-difluorophenyl)-1-piperidinecarboxylate 644982-72-9P, 1,1-Dimethylethyl 4-[2-[[1-(3,5-dibromophenyl)ethyl] (methyl)amino]-2-oxoethyl]-4-(3-fluorophenyl)-1-piperidinecarboxylate 644982-75-2P, 1,1-Dimethylethyl 4-[2-[[1-(3,5-dibromophenyl)ethyl] (methyl)amino]-2-oxoethyl]-4-(4-fluoro-3-methylphenyl)-1-piperidinecarboxylate 644982-78-5P, 1,1-Dimethylethyl 4-(3-chlorophenyl)-4-[2-[[1-(3,5-dibromophenyl)ethyl] (methyl)amino]-2-oxoethyl]-1-piperidinecarboxylate 644982-79-6P, 1,1-Dimethylethyl 4-(3-chlorophenyl)-4-[2-[[1-(3,5-dichlorophenyl)ethyl] (methyl)amino]-2-oxoethyl]-1-piperidinecarboxylate 644982-80-9P, 1,1-Dimethylethyl 4-(3-chlorophenyl)-4-[2-[[1-(3,5-dibromophenyl)ethyl] (methyl)amino]-2-oxoethyl]-1-piperidinecarboxylate 644982-81-0P, 1,1-Dimethylethyl 4-[2-[[1-(3,5-dichlorophenyl)ethyl] (methyl)amino]-2-oxoethyl]-4-(4-fluoro-3-methylphenyl)-1-piperidinecarboxylate 644982-82-1P, 1,1-Dimethylethyl 4-[2-[[[3,5-dibromophenyl]methyl] (methyl)amino]-2-oxoethyl]-4-(4-fluoro-3-methylphenyl)-1-piperidinecarboxylate 644982-83-2P, 1,1-Dimethylethyl 4-[2-[[[3,5-

dibromophenyl)methyl](methyl)amino]-2-oxoethyl]-4-(3-fluorophenyl)-1-piperidinecarboxylate 644582-84-3P, 1,1-Dimethylethyl 4-[2-[(3,5-dibromophenyl)methyl](methyl)amino]-2-oxoethyl]-4-(3,4-difluorophenyl)-1-piperidinecarboxylate 644982-89-8P, 1,1-Dimethylethyl 4-(4-cyanophenyl)-4-[2-[(1-(3,5-dibromophenyl)ethyl](methyl)amino)-2-oxoethyl]-1-piperidinecarboxylate
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of substituted (homo)piperidines as NK1 receptor ligands)

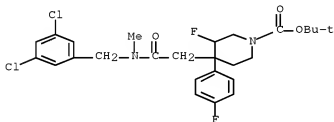
RN 644981-81-7 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[2-(3,5-dichlorophenyl)ethyl]amino]-2-oxoethyl]-3-fluoro-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



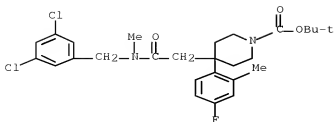
RN 644981-85-1 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[2-(3,5-dichlorophenyl)methyl]methylamino]-2-oxoethyl]-3-fluoro-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



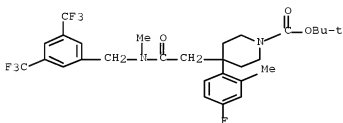
RN 644982-00-3 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[2-(3,5-dichlorophenyl)methyl]methylamino]-2-oxoethyl]-4-(4-fluoro-2-methylphenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



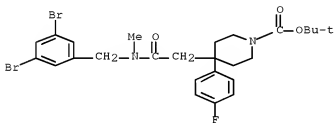
RN 644982-01-4 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[[3,5-bis(trifluoromethyl)phenyl]methyl]methylamino]-2-oxoethyl]-4-(4-fluoro-2-methylphenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



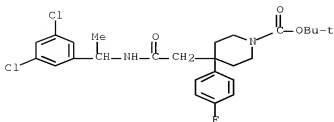
RN 644982-29-6 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[[3,5-dibromophenyl]methyl]methylamino]-2-oxoethyl]-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 644982-30-9 CAPLUS

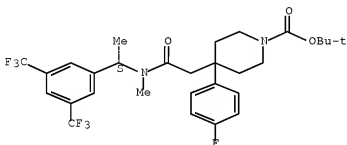
CN 1-Piperidinecarboxylic acid, 4-[2-[[[1-(3,5-dichlorophenyl)ethyl]amino]-2-oxoethyl]-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 644982-31-0 CAPLUS

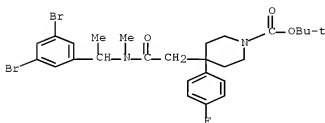
CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3,5-bis(trifluoromethyl)phenyl)ethyl]methylamino]-2-oxoethyl]-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.



RN 644982-33-2 CAPLUS

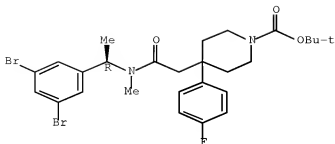
CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3,5-dibromophenyl)ethyl]methylamino]-2-oxoethyl]-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 644982-34-3 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3,5-dibromophenyl)ethyl]methylamino]-2-oxoethyl]-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)

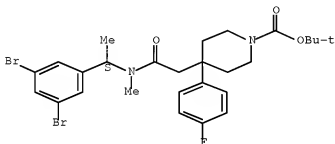
Absolute stereochemistry.



RN 644982-35-4 CAPLUS

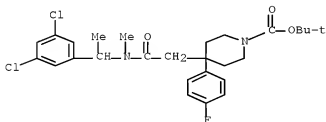
CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3,5-dibromophenyl)ethyl]methylamino]-2-oxoethyl]-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.



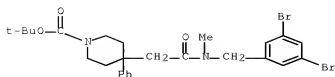
RN 644982-36-5 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3,5-dichlorophenyl)ethyl]methylamino]-2-oxoethyl]-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 644982-37-6 CAPLUS

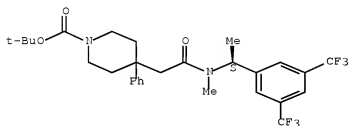
CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3,5-dibromophenyl)methyl]methylamino]-2-oxoethyl]-4-phenyl-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 644982-38-7 CAPLUS

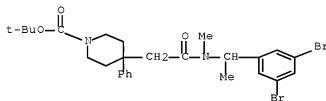
CN 1-Piperidinecarboxylic acid, 4-[2-[[[(1S)-1-[3,5-bis(trifluoromethyl)phenyl]ethyl]methylamino]-2-oxoethyl]-4-phenyl-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.



RN 644982-39-8 CAPLUS

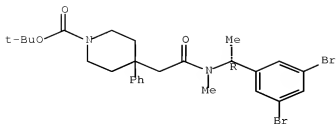
CN 1-Piperidinecarboxylic acid, 4-[2-[[[(1S)-1-[3,5-dibromophenyl]ethyl]methylamino]-2-oxoethyl]-4-phenyl-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 644982-40-1 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[[(1R)-1-(3,5-dibromophenyl)ethyl]methylamino]-2-oxoethyl]-4-phenyl-, 1,1-dimethylethyl ester (CA INDEX NAME)

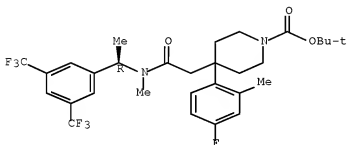
Absolute stereochemistry.



RN 644982-41-2 CAPLUS

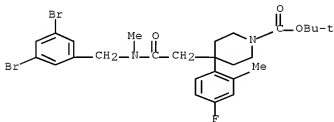
CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3,5-bis(trifluoromethyl)phenyl)ethyl]methylamino]-2-oxoethyl]-4-(4-fluoro-2-methylphenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.



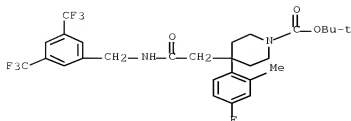
RN 644982-43-4 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3,5-dibromophenyl)methyl]methylamino]-2-oxoethyl]-4-(4-fluoro-2-methylphenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



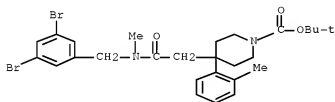
RN 644982-44-5 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3,5-bis(trifluoromethyl)phenyl)methyl]amino]-2-oxoethyl]-4-(4-fluoro-2-methylphenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



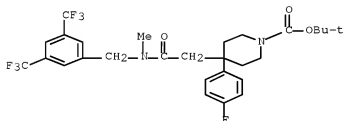
RN 644982-47-8 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[[3,5-dibromophenyl]methyl]methylamino]-2-oxoethyl]-4-(2-methylphenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



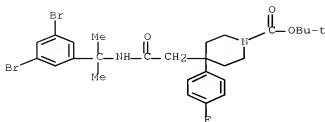
RN 644982-48-9 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[[3,5-bis(trifluoromethyl)phenyl]methyl]methylamino]-2-oxoethyl]-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



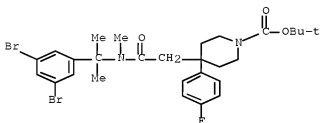
RN 644982-49-0 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[[1-(3,5-dibromophenyl)-1-methylethyl]amino]-2-oxoethyl]-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 644982-50-3 CAPLUS

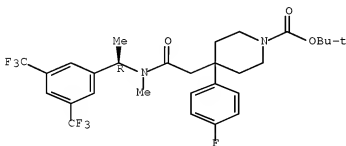
CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3,5-dibromophenyl)-1-methylethyl]methylamino]-2-oxoethyl]-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 644982-51-4 CAPLUS

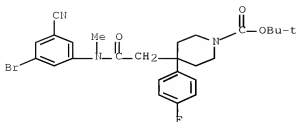
CN 1-Piperidinecarboxylic acid, 4-[2-[[1R)-1-[3,5-bis(trifluoromethyl)phenyl]ethyl]methylamino]-2-oxoethyl]-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.



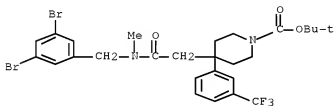
RN 644982-57-0 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[(3-bromo-5-cyanophenyl)methylamino]-2-oxoethyl]-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



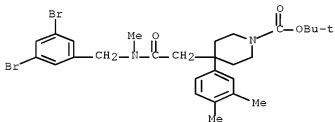
RN 644982-60-5 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[(3,5-dibromophenyl)methyl]methylamino]-2-oxoethyl]-4-(3-(trifluoromethyl)phenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



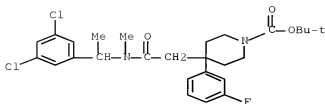
RN 644982-63-8 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[(3,5-dibromophenyl)methyl]methylamino]-2-oxoethyl]-4-(3,4-dimethylphenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



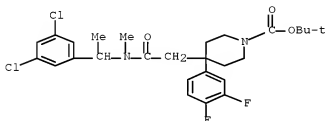
RN 644982-66-1 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3,5-dichlorophenyl)ethyl]methylamino]-2-oxoethyl]-4-(3-fluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 644982-69-4 CAPLUS

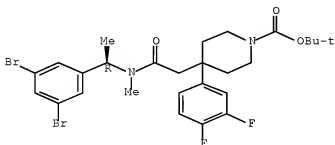
CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3,5-dichlorophenyl)ethyl]methylamino]-2-oxoethyl]-4-(3,4-difluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 644982-70-7 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[1(R)-1-(3,5-dibromophenyl)ethyl]methylamino]-2-oxoethyl]-4-(3,4-difluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)

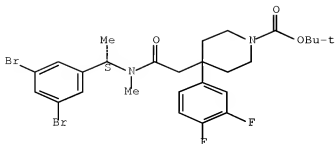
Absolute stereochemistry.



RN 644982-71-8 CAPLUS

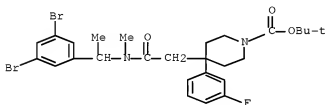
CN 1-Piperidinecarboxylic acid, 4-[2-[[1(S)-1-(3,5-dibromophenyl)ethyl]methylamino]-2-oxoethyl]-4-(3,4-difluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.



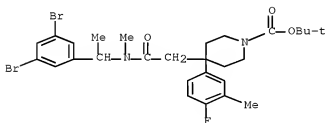
RN 644982-72-9 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3,5-dibromophenyl)ethyl]methylamino]-2-oxoethyl]-4-(3-fluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



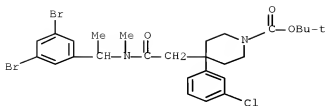
RN 644982-75-2 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3,5-dibromophenyl)ethyl]methylamino]-2-oxoethyl]-4-(4-fluoro-3-methylphenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



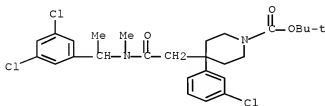
RN 644982-78-5 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-(3-chlorophenyl)-4-[2-[[1-(3,5-dibromophenyl)ethyl]methylamino]-2-oxoethyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



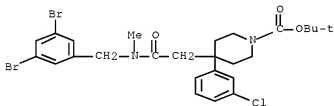
RN 644982-79-6 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-(3-chlorophenyl)-4-[2-[[1-(3,5-dichlorophenyl)ethyl]methylamino]-2-oxoethyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



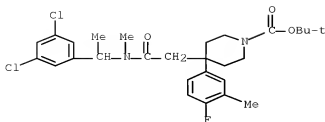
RN 644982-80-9 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-(3-chlorophenyl)-4-[2-[[1-(3,5-dibromophenyl)ethyl]methylamino]-2-oxoethyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



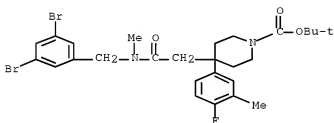
RN 644982-81-0 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[1-(3,5-dichlorophenyl)ethyl]methylamino]-2-oxoethyl]-4-(4-fluoro-3-methylphenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



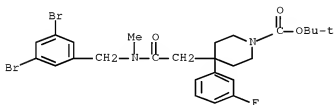
RN 644982-82-1 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[[(3,5-dibromophenyl)methyl]methylamino]-2-oxoethyl]-4-(4-fluoro-3-methylphenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



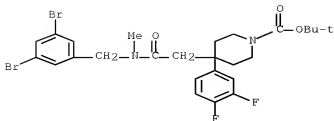
RN 644982-83-2 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[[(3,5-dibromophenyl)methyl]methylamino]-2-oxoethyl]-4-(3-fluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)

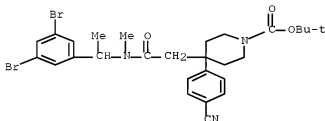


RN 644982-84-3 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[[(3,5-dibromophenyl)methyl]methylamino]-2-oxoethyl]-4-(3,4-difluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 644982-89-8 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-(4-cyanophenyl)-4-[2-[[1-(3,5-dibromophenyl)ethyl]methylamino]-2-oxoethyl]-, 1,1-dimethylethyl ester
 (CA INDEX NAME)



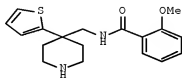
L3 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2008 ACS on SIN
 ACCESSION NUMBER: 2003:855758 CAPLUS [Full-text](#)
 DOCUMENT NUMBER: 139:364829
 TITLE: Preparation of heterocyclo inhibitors of potassium channel function
 INVENTOR(S): Lloyd, John; Jeon, Yoon T.; Finlay, Heather; Yan, Lin; Beaudoin, Serge; Gross, Michael F.
 PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA; Icagen, Inc.
 SOURCE: PCT Int. Appl., 330 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003088908	A2	20031030	WO 2003-US11807	20030416
WO 2003088908	A3	20040527		
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RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,			

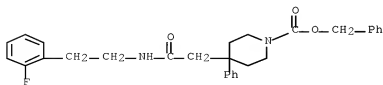
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NO 2004004351	A 20041013	NO 2004-4351	20041013
PRIORITY APPLN. INFO.:		US 2002-374279P	P 20020419
		WO 2003-US11807	W 20030416

OTHER SOURCE(S): MARPAT 139:364829

GI



- AB The title compds. [I; m, p = 0-3 (provided that the sum of m and p is at least 2); Q = NR1, O, S, SO, SO2; R1 = H, C(:W)NR6R7, SO2NR6R7, OCONR6R7, etc.; R2 = heteroaryl, heteroarylalkyl, aryl, etc.; J = a bond, alkylene; R3 = R5, OR5, SO2R5, etc.; R5 = CN, heteroaryl, aryl, etc.; R6, R7 = H, alkyl, OH, etc.; W = (un)substituted NH, N(CO2H), N(CN), N(SO2H), CH(NO2); Rx = H, alkyl, hydroxyalkyl, aryl, etc.], useful as inhibitors of potassium channel function (especially inhibitors of the Kv1 subfamily of voltage gated K⁺ channels, especially inhibitors Kv1.5 which has been linked to the ultra-rapidly activating delayed rectifier K⁺ current IKur) in the prevention and treatment of arrhythmia and IKur-associated conditions, were prepared E.g., a multi-step synthesis of II [starting from bis(2-chloroethyl)amine], was given. Pharmaceutical composition comprising the compound I is claimed.
- IT 619288-37-8P
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (preparation of substituted piperidines as inhibitors of potassium channel function)
- RN 619288-37-8 CAPLUS
- CN 1-Piperidinecarboxylic acid, 4-[2-[[2-(2-fluorophenyl)ethyl]amino]-2-oxoethyl]-4-phenyl-, phenylmethyl ester (CA INDEX NAME)



IT 619288-03-8P 619288-05-8P 619288-07-2P

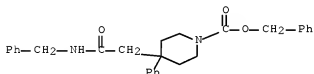
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted piperidines as inhibitors of potassium channel function)

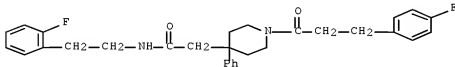
RN 619288-03-8 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-oxo-2-[(phenylmethyl)amino]ethyl]-4-phenyl-, phenylmethyl ester (CA INDEX NAME)



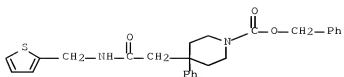
RN 619288-05-0 CAPLUS

CN 4-Piperidineacetamide, N-[2-(2-fluorophenyl)ethyl]-1-[3-(4-fluorophenyl)-1-oxopropyl]-4-phenyl- (CA INDEX NAME)



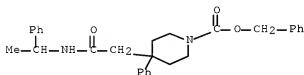
RN 619288-07-2 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-oxo-2-[(2-thienylmethyl)amino]ethyl]-4-phenyl-, phenylmethyl ester (CA INDEX NAME)



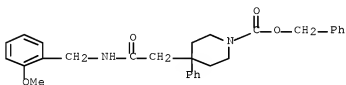
RN 619288-09-4 CAPLUS

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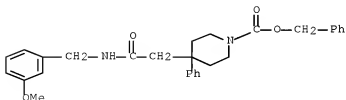
RN 619288-11-8 CAPLUS

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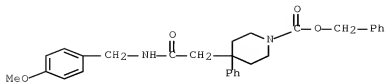
RN 619288-13-0 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[[[(3-methoxyphenyl)methyl]amino]-2-oxoethyl]-4-phenyl-, phenylmethyl ester (CA INDEX NAME)



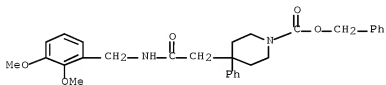
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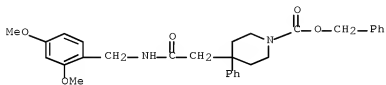
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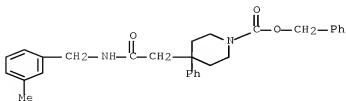
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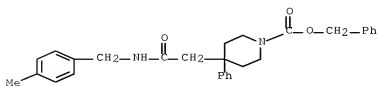
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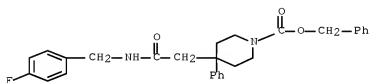
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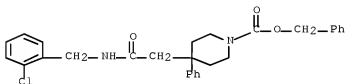
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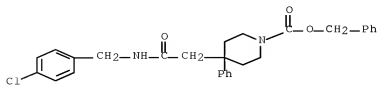
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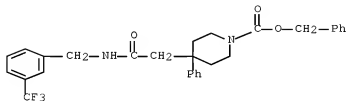
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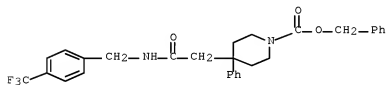
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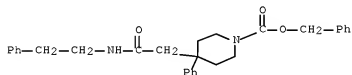
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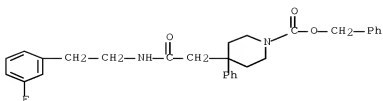
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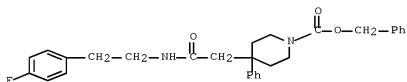
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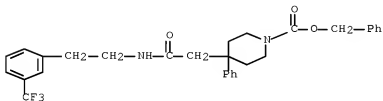
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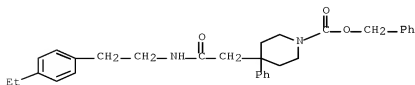
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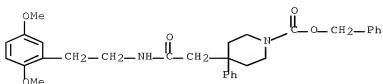
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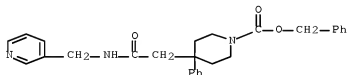
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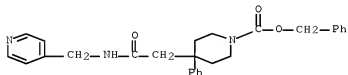
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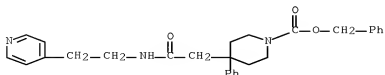
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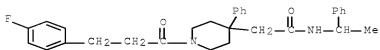
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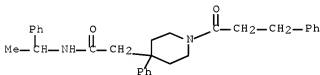
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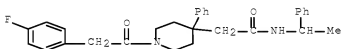
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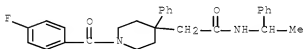
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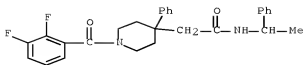
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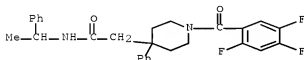
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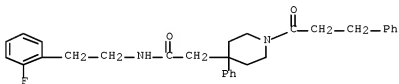
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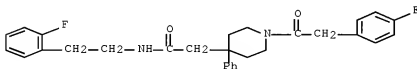
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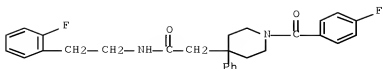
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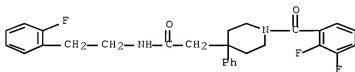
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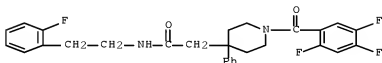
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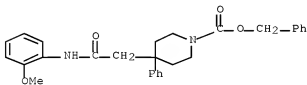
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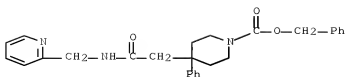
RN 619288-77-6 CAPLUS

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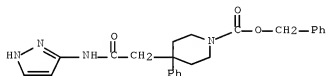
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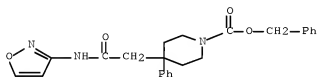
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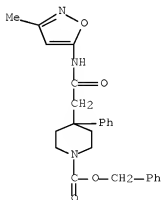
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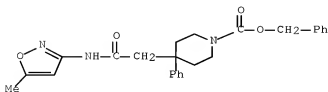
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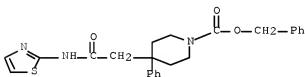
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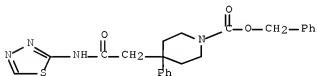
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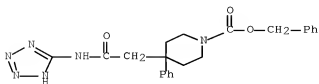
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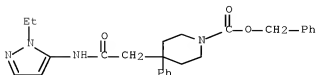
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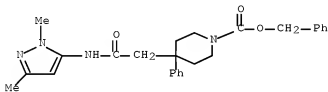
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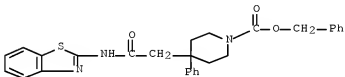
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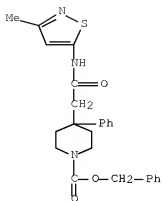
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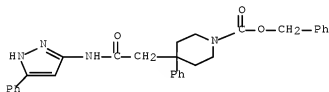
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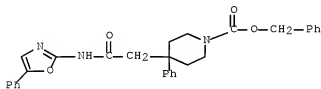
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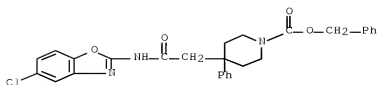
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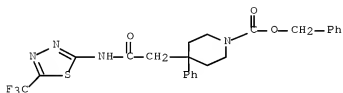
RN 619289-07-5 CAPLUS

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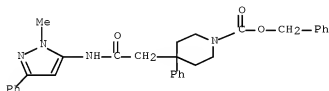
RN 619289-09-7 CAPLUS

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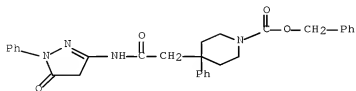
RN 619289-11-1 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[(1-methyl-3-phenyl-1H-pyrazol-5-yl)amino]-2-oxoethyl]-4-phenyl-, phenylmethyl ester (CA INDEX NAME)



RN 619289-13-3 CAPLUS

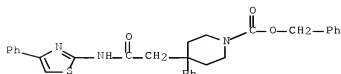
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RN 619289-15-5 CAPLUS

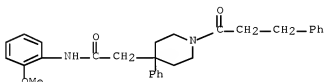
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RN 619289-17-7 CAPLUS

CN 4-Piperidineacetamide, N-(2-methoxyphenyl)-1-(1-oxo-3-phenylpropyl)-4-phenyl- (CA INDEX NAME)



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ACCESSION NUMBER: 2000:68365 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 132:122932

TITLE: Preparation of peptides, peptidomimetics, and nonpeptides as medical and agrochemical antifungals.

INVENTOR(S): Bergnes, Gustave; Berlin, Vivian; Come, Jon; Kluge, Arthur; Murthi, Krishna; Pal, Kollol

PATENT ASSIGNEE(S): Mitotix, Inc., USA

SOURCE: PCT Int. Appl., 287 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

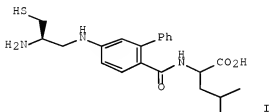
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000003743	A2	20000127	WO 1999-US16146	19990715
WO 2000003743	A3	20010201		
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RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6423519	B1	20020723	US 1998-172845	19981015
CA 2335381	A1	20000127	CA 1999-2335381	19990715
AU 9951075	A	20000207	AU 1999-51075	19990715
EP 1096925	A2	20010509	EP 1999-935639	19990715

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO
 JP 2002520372 T 20020709 JP 2000-559877 19990715
 PRIORITY APPLN. INFO.: US 1998-115846 A 19980715
 US 1998-172845 A 19981015
 WO 1999-US16146 W 19990715

OTHER SOURCE(S): MARPAT 132:122932
 GI

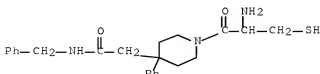


AB A method for inhibiting the growth of a fungal pathogen comprises contacting the pathogen with a compound, e.g., (R70)2NCH[(CH2)nR1C(Xa)NHCHR7 2C(Xb)NHCHR73C(Xc)NHCHR10CO2R11 [Xa, Xb, Xc = O, H2; R = SR1, SOR111, SO2R111; R1 = H, alkyl, alkenyl, aryl, acyl; R10 = alkyl, alkenyl, alkynyl, aryl, cycloalkyl, hydroxyalkyl, amino acid sidechain, etc.; R11 = H, blocking group, pharmaceutically acceptable salt; R10R11 = atoms to form 5-7 membered ring; R111 = alkyl, alkenyl, (CH2)mR7; R70 = H, alkyl, alkenyl, alkynyl, aryl, acyl, amino acid sidechain, etc.; R72, R73 = H, alkyl, aryl, heteroaryl, amino acid sidechain, (CH2)mR7, etc.; m, n = 0-4], which inhibits prenyl transferase activity with MIC50<25 µg/mL. Thus, title compound (I) (solution phase preparation given) inhibited GGTase with IC50<10 nM.

IT 256369-53-6 256369-61-6
 RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (preparation of peptides, peptidomimetics, and nonpeptides as medical and agrochem. antifungals)

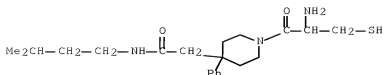
RN 256369-53-6 CAPLUS

CN 4-Piperidineacetamide, 1-(2-amino-3-mercapto-1-oxopropyl)-4-phenyl-N-(phenylmethyl)- (CA INDEX NAME)



RN 256369-61-6 CAPLUS

CN 4-Piperidineacetamide, 1-(2-amino-3-mercapto-1-oxopropyl)-N-(3-methylbutyl)-4-phenyl- (CA INDEX NAME)



=> file marpat

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

33.18

212.69

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

-4.80

-4.80

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FILE CONTENT: 1961-PRESENT VOL 148 ISS 25 (20080704/ED)

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EP	1925296	28	MAY	2008
JP	2008117905	22	MAY	2008
WO	2008061874	29	MAY	2008
GB	2443936	21	MAY	2008
FR	2908651	23	MAY	2008
RU	2324697	20	MAY	2008
CA	2608608	30	APR	2008

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